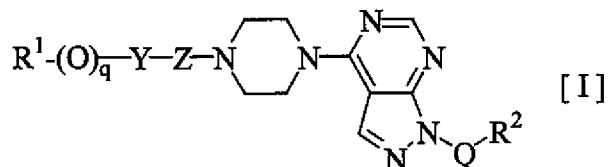


AMENDMENTS TO THE CLAIMS

1. (Currently Amended) A compound of the formula [I]:

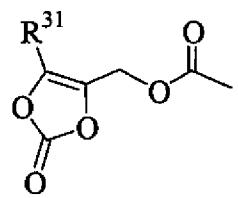


wherein R^1 is

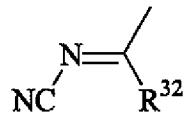
- (A) a substituted aryl group,
- (B) an optionally substituted nitrogen-containing aliphatic heteromonocyclic group,
- (C) a substituted cyclo-lower alkyl group,
- (D) an optionally substituted amino group, or
- (E) a substituted heteroaryl group,

R^2 is (a) an optionally substituted heteroaryl group or (b) an optionally substituted aryl group,

(A) a 6- to 10-membered, mono- or bicyclic aryl group substituted by one to three groups selected from the group consisting of (i) a hydroxyl group; (ii) a halogen atom; (iii) a C_{1-6} alkyl group; (iv) an amino group optionally substituted by one or two groups selected from a C_{1-6} alkyl group optionally substituted by a hydroxyl group, a C_{1-6} alkoxy- C_{1-6} alkyl group, an amino- C_{2-7} alkanoyl group optionally substituted by a group selected from a C_{1-6} alkyl group, a C_{2-7} alkoxy carbonyl group and a group of the formula:

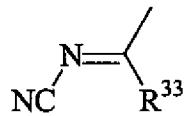


in which R^{31} is a C_{1-6} alkyl group at the amino moiety, a (mono- or di- C_{1-6} alkyl)amino- C_{2-7} alkyl group, a (mono- or di- C_{1-6} alkyl)carbamoyl group, a C_{2-7} alkanoyl group optionally substituted by a hydroxyl group, a C_{3-8} cycloalkyl-carbonyl group, a C_{1-6} alkoxy- C_{2-7} alkanoyl group, a C_{1-6} alkoxy- C_{2-7} alkoxycarbonyl group, a C_{3-8} cycloalkyl- C_{1-6} alkyl group, a C_{1-6} alkylsulfonyl group, a phenyl alkyl group optionally substituted by a (mono- or di- C_{1-6} alkyl)amino group, a C_{2-8} alkenoyl group, a thiocarbamoyl group optionally substituted by a C_{1-6} alkyl group, a 5- to 14-membered, mono- or bicyclic heteroaryl-carbonyl group having at least one heteroatom selected from nitrogen atom, sulfur atom and oxygen atom, a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group-substituted C_{1-6} alkyl group, a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group-substituted C_{2-7} alkanoyl group, a phenylsulfonyl group optionally substituted by a (mono- or di- C_{1-6} alkyl)amino group at the phenyl moiety; a group of the formula:



in which R^{32} is a C_{1-6} alkoxy group and a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group optionally substituted by a C_{1-6} alkyl group, (v) a C_{1-6} alkoxy group optionally substituted by a group selected from an amino group (said amino group being optionally substituted by a group(s) selected from a C_{1-6} alkyl group and a phenyl C_{1-6} alkyl group), a 5- to 14-membered, mono- or bicyclic heteroaryl group having at least one heteroatom selected from nitrogen atom, sulfur atom and oxygen atom and optionally substituted by a C_{1-6} alkyl group and a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group optionally substituted by a C_{1-6} alkyl group; (vi) an amino- C_{1-6} alkyl group optionally substituted

by a group selected from a C₁₋₆ alkyl group optionally substituted by a hydroxyl group, a C₂₋₇ alkanoyl group, a (mono- or di-C₁₋₆ alkyl)amino-C₁₋₆ alkyl group, a (mono- or di-C₁₋₆ alkyl)amino-C₂₋₇ alkoxycarbonyl group, a C₁₋₆ alkoxy-C₂₋₇ alkanoyl group, a (mono- or di-C₁₋₆ alkyl)carbamoyl group, a C₁₋₆ alkoxy-C₂₋₇ alkoxycarbonyl group, a C₁₋₆ alkoxy-C₁₋₆ alkyl group, a C₃₋₈ cycloalkylcarbonyl group, a phenyl-C₁₋₆ alkyl group, a C₃₋₈ cycloalkyl group, a C₃₋₈ cycloalkyl-C₁₋₆ alkyl group, a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group-substituted alkoxycarbonyl group and a group of the formula:

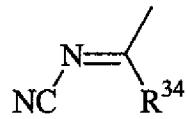


in which R³³ is an amino group, a (mono- or di-C₁₋₆ alkyl)amino group or a (mono- or di-C₁₋₆ alkyl)amino-C₁₋₆ alkylamino group; (vii) a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group-substituted C₁₋₆ alkyl group optionally substituted by a group selected from a hydroxyl group, a C₁₋₆ alkyl group optionally substituted by a hydroxyl group, a C₁₋₆ alkoxy-C₁₋₆ alkyl group and a carbamoyl group; (viii) a carbamoyl group optionally substituted by a group selected from a C₁₋₆ alkyl group, a (mono- or di-C₁₋₆ alkyl)amino-C₁₋₆ alkyl group, a C₁₋₆ alkyl group substituted by a 5- to 14-membered, mono- or bicyclic heteroaryl group having at least one heteroatom selected from nitrogen atom, sulfur atom and oxygen atom and a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group-substituted C₁₋₆ alkyl group; (ix) a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group optionally substituted by a C₁₋₆ alkyl group (said nitrogen-containing aliphatic heteromonocyclic group may bond to the aryl moiety via an oxygen atom); (x) a nitro group; (xi) a C₃₋₈ cycloalkyl-oxy group optionally substituted by a (mono- or di-C₁₋₆ alkyl)amino group; (xii) a C₂₋₇ alkenyl

group optionally substituted by a group selected from a (mono- or di-C₁₋₆ alkyl)amino group and a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group; (xiii) a C₂₋₇ alkynyl group optionally substituted by a group(s) selected from a (mono- or di-C₁₋₆ alkyl)amino group and a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group; (xiv) a C₁₋₆ alkylthio group optionally substituted by a (mono- or di-C₁₋₆ alkyl)amino group; and (xv) a C₃₋₈ cycloalkyl-C₁₋₆ alkoxy group optionally substituted by a (mono- or di- C₁₋₆ alkyl)amino group at the cycloalkyl moiety,

(B) a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group optionally substituted by a group selected from a C₁₋₆ alkyl group, a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group-substituted C₂₋₇ alkanoyl group, a (mono- or di-C₁₋₆ alkyl)amino-C₂₋₇ alkanoyl group, a C₁₋₆ alkoxy-C₁₋₆ alkyl group, a (mono- or di-C₁₋₆ alkyl)amino-C₁₋₆ alkyl group, a C₃₋₈ cycloalkyl group, a 5- to 14-membered, mono- or bicyclic heteroaryl group having at least one heteroatom selected from nitrogen atom, sulfur atom and oxygen atom, a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group optionally containing one or more double bond in the ring moiety and optionally substituted by a group(s) selected from a C₁₋₆ alkyl group, a C₁₋₆ alkoxy-C₁₋₆ alkyl group, a carbamoyl group and a C₂₋₇ alkanoyl-amino group and an amino group optionally substituted by a group(s) selected from a C₁₋₆ alkyl group, a (mono- or di-C₁₋₆ alkyl)amino group, a C₃₋₈ cycloalkyl-carbonyl group, a C₂₋₈ alkenoyl group, a 5- to 14-membered, mono- or bicyclic heteroaryl-carbonyl group having at least one heteroatom selected from nitrogen atom, sulfur atom and oxygen atom, a C₁₋₆ alkoxy-C₁₋₆ alkyl group, a C₂₋₇ alkanoyl group and a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group,

(C) a C₃₋₈ cycloalkyl group substituted by a group selected from a group consisting of (i) an amino group optionally substituted by a group selected from a C₁₋₆ alkyl group, a (mono- or di-C₁₋₆ alkyl)amino-C₂₋₇ alkanoyl group, a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group-substituted C₂₋₇ alkanoyl group, a (mono- or di-C₁₋₆ alkyl)amino-C₁₋₆ alkyl group, a C₂₋₇ alkanoyl group, a C₃₋₈ cycloalkyl-carbonyl group, a C₂₋₈ alkenoyl group, a 5- to 14-membered, mono- or bicyclic heteroaryl-carbonyl group having at least one heteroatom selected from nitrogen atom, sulfur atom and oxygen atom, a phenylcarbonyl group optionally substituted by a halogen atom(s), a C₁₋₆ alkyl-thiocarbamoyl group, an alkoxy carbonyl group, a cycloalkyl group, a group of the formula:



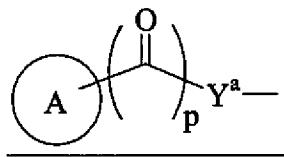
in which R³⁴ is a (mono- or di-C₁₋₆ alkyl)amino group, a C₃₋₈ cycloalkyl-C₁₋₆ alkyl group and a C₁₋₆ alkylsulfonyl group; (ii) an amino-C₁₋₆ alkyl group optionally substituted by a group selected from a C₁₋₆ alkyl group optionally substituted by a hydroxyl group, a (mono- or di-C₁₋₆ alkyl)amino-C₂₋₇ alkanoyl group, a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group-substituted C₂₋₇ alkanoyl group, a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group-substituted C₁₋₆ alkyl group, a (mono- or di-C₁₋₆ alkyl)amino-C₁₋₆ alkyl group, a C₁₋₆ alkyl group substituted by a 5- to 14-membered, mono- or bicyclic heteroaryl group having at least one heteroatom selected from nitrogen atom, sulfur atom and oxygen atom, a C₁₋₆ alkoxy-C₁₋₆ alkyl group, a C₂₋₇ alkanoyl group, a 5- to 14-membered, mono- or bicyclic heteroaryl-carbonyl group having at least one heteroatom selected from nitrogen atom, sulfur atom and oxygen atom (the heteroaryl moiety of said group is optionally substituted

by a C₁₋₆ alkyl group), a C₃₋₈ cycloalkyl-carbonyl group, a phenyl-C₁₋₆ alkyl group, a C₃₋₈ cycloalkyl group, a C₁₋₆ cycloalkyl-C₁₋₆ alkyl group, a C₁₋₆ alkylsulfonyl group, a C₂₋₇ alkoxy carbonyl group, a mono- or di-C₁₋₆ alkylcarbamoyl group and a phenylcarbonyl group optionally substituted by a group(s) selected from a halogen atom and a C₁₋₆ alkoxy group, a C₁₋₆ alkoxy-C₂₋₇ alkanoyl group and a C₂₋₇ alkanoyl group; (iii) a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group optionally substituted by a group(s) selected from a hydroxyl group, a C₁₋₆ alkyl group, a C₂₋₇ alkanoyl group and a C₁₋₆ alkoxy-C₁₋₆ alkyl group; (iv) a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group-substituted C₁₋₆ alkyl group (said nitrogen-containing aliphatic heteromonocyclic group is optionally fused to a benzene ring and optionally substituted by a group selected from a C₁₋₆ alkyl group, a carbamoyl (or thiocarbamoyl) group, a hydroxyl group, a C₁₋₆ alkoxy-C₁₋₆ alkyl group, a C₂₋₇ alkanoyl group and a (mono- or di-C₁₋₆ alkyl)amino group); (v) a mono- or di-C₁₋₆ alkylamino-C₁₋₆ alkoxy group; and (vi) a carbamoyl group optionally substituted by a group(s) selected from a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group-substituted C₁₋₆ alkyl group optionally substituted by a C₁₋₆ alkyl group, a (mono- or di-C₁₋₆ alkyl)amino group and a C₁₋₆ alkyl group,

(D) an amino group optionally substituted by a C₁₋₆ alkyl group, or

(E) a 5- to 14-membered, mono- or bicyclic heteroaryl group having at least one heteroatom selected from nitrogen atom, sulfur atom and oxygen atom, optionally substituted by a group selected from (i) an amino-C₁₋₆ alkyl group optionally substituted by a group(s) selected from a C₁₋₆ alkyl group and a C₁₋₆ alkoxy-C₁₋₆ alkyl group; (ii) an amino group optionally substituted by a group selected from a C₃₋₈ cycloalkyl-carbonyl group, a (mono- or di-C₁₋₆

alkyl)amino-C₁₋₆ alkyl group, a C₂₋₇ alkanoyl group, a C₂₋₈ alkenoyl group, a (mono- or di-C₁₋₆ alkyl)thiocarbamoyl group, a (mono- or di-C₁₋₆ alkyl)carbamoyl group and a C₁₋₆ alkyl group;
(iii) a carbamoyl group optionally substituted by a group selected from a C₁₋₆ alkyl group, a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group-substituted C₁₋₆ alkyl group and a (mono- or di-C₁₋₆ alkyl)amino-C₁₋₆ alkyl group; (iv) a C₁₋₆ alkyl group optionally substituted by a halogen atom(s); (v) a (mono- or di-C₁₋₆ alkyl)amino-C₁₋₆ alkoxy group; (vi) an oxo group; and (vii) a group of the following formula:

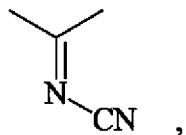


wherein ring A is a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group optionally substituted by a C₁₋₆ alkyl group and optionally fused to a benzene ring, Y^a is a single bond, a C₁₋₆ alkylene group or a C₂₋₇ alkenylene group and p is an integer of 0 or 1,

R² is (a) a 5- to 14-membered, mono- or bicyclic heteroaryl group having at least one heteroatom selected from nitrogen atom, sulfur atom and oxygen atom, optionally substituted by the same or different one to three groups selected from a C₁₋₆ alkyl group, a C₁₋₆ alkoxy group and a (mono- or di-C₁₋₆ alkyl)amino group or (b) a 6- to 10-membered, mono- or bicyclic aryl group optionally substituted by the same or different one to three groups selected from a C₁₋₆ alkyl group, a halogen atom, a halogeno-C₁₋₆ alkoxy group, a (mono- or di-C₁₋₆ alkyl)amino group, a C₁₋₆ alkoxy group, a nitro group, a C₁₋₆ alkoxy-C₁₋₆ alkyl group, a hydroxyl group, a C₂₋₇ alkanoyl group and a C₂₋₇ alkoxycarbonyl group,

Y is a single bond, a lower C₁₋₆ alkylene group or a lower C₂₋₈ alkenylene group,

Z is a group of the formula: -CO-, -CH₂-, -SO₂- or



Q is a lower C₁₋₆ alkylene group, and q is an integer of 0 or 1 or a pharmaceutically acceptable salt thereof.

2. (Cancelled).

3. (Currently Amended) The compound according to Claim 2 Claim 1 in which the aryl group in R¹ and R² is phenyl group or naphthyl group.

4. (Cancelled).

5. (Currently Amended) The compound according to Claim 4 Claim 1 in which the 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group is an azetidinyl group, a pyrrolidinyl group, an imidazolidinyl group, a pyrazolidinyl group, a piperidyl group, a piperazinyl group, an azepinyl group, a diazepinyl group, an azeocinyl group, a diazeocinyl group, a 3-pyrrolinyl group or a morpholinyl group.

6. (Currently Amended) The compound according to Claim 2 Claim 1 in which the heteroaryl group in R¹ and R² is a 5- to 10-membered mono-or bicyclic heteroaryl group.

7. (Original) The compound according to Claim 6 in which the heteroaryl group is a nitrogen-containing heteroaryl group selected from a pyrrolyl group, an imidazolyl group, a pyrazolyl group, an oxazolyl group, a thiazolyl group, an isothiazolyl group, an isoxazolyl group, a pyridyl group, a dihydropyridyl group, a pyrazinyl group, a pyrimidinyl group, a tetrahydropyrimidinyl group, a furopyrimidinyl group, a pyridazinyl group, an imidazolidinyl group, an indolyl group, a quinolyl group, an isoquinolyl group, a purinyl group, a 1H-indazolyl group, a quinazolinyl group, a cinnolinyl group, a quinoxalinyl group, a phthalazinyl group and a pteridinyl group or an oxygen- or sulfur-containing heteroaryl group selected from a furyl group, a pyranyl group, a thienyl group, a benzofuryl group and a benzothienyl group.

8. (Currently Amended) The compound according to ~~Claim 2~~ Claim 7 in which Y is a single bond, a ~~lower~~ C₁₋₆ alkylene group or a ~~lower~~ C₂₋₇ alkenylene group, Z is -CO-, R² is a phenyl group substituted by a group selected from a ~~lower~~ C₁₋₆ alkoxy group, a ~~lower~~ C₁₋₆ alkyl group and a halogen atom, a ~~lower~~ C₁₋₆ alkoxy group-substituted 5- to 10-membered, mono- or bicyclic heteroaryl group having at least one heteroatom selected from nitrogen atom, sulfur atom and oxygen atom or a ~~lower~~ C₁₋₆ alkyl group-substituted 5- to 10-membered, mono- or bicyclic heteroaryl group having at least one heteroatom selected from nitrogen atom, sulfur atom and oxygen atom and q is an integer of 0.

9. (Currently Amended) The compound according to ~~Claim 2~~ Claim 7 in which Y is a single bond, Z is -CH₂-, R² is a ~~lower~~ C₁₋₆ alkoxyphenyl group and q is an integer of 0.

10. (Currently Amended) The compound according to Claim 8 or 9 in which R¹ is

(a) a phenyl group substituted by a group selected from (i) a ~~lower~~ C₁₋₆ alkoxy group substituted by a group selected from a (mono- or di-~~lower~~ C₁₋₆ alkyl)amino group and a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group, (ii) a ~~lower~~ C₁₋₆ alkyl group substituted by a group selected from a (mono- or di-~~lower~~ C₁₋₆ alkyl)amino group and a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group, and (iii) an amino group substituted by a group selected from a ~~lower~~ C₁₋₆ alkyl group, a C₃₋₈ cycloalkyl-carbonyl ~~cycle-lower alkylcarbonyl~~ group, a (mono- or di-~~lower~~ C₁₋₆ alkyl)amino-~~lower~~ C₁₋₆ alkyl group, a ~~lower~~ C₁₋₆ alkoxy-~~lower~~ C₂₋₇ alkoxycarbonyl group, a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group-substituted ~~lower~~ C₁₋₆ alkyl group, a ~~lower~~ C₂₋₇ alkanoyl group and a ~~lower~~ C₂₋₈ alkenoyl group,

(b) a C₃₋₈ cycloalkyl ~~cycle-lower alkyl~~ group substituted by a group selected from (i) an amino-~~lower~~ C₁₋₆ alkyl group optionally substituted by a group(s) selected from a ~~lower~~ C₁₋₆ alkyl group, a hydroxy-~~lower~~ C₁₋₆ alkyl group, a (mono- or di-~~lower~~ C₁₋₆ alkyl)amino-~~lower~~ C₁₋₆ alkyl group, a ~~lower~~ C₁₂₋₇ alkanoyl group, a C₃₋₈ cycloalkyl-carbonyl ~~cycle-lower alkylcarbonyl~~ group and a ~~lower~~ C₁₋₆ alkoxy-~~lower~~ C₁₋₆ alkyl group; (ii) a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group optionally substituted by a hydroxyl group; and (iii) an amino group substituted by a group selected from a ~~lower~~ C₁₋₆ alkyl group, a (mono- or di-~~lower~~ C₁₋₆ alkyl)amino-~~lower~~ C₁₋₆ alkyl group, a ~~lower~~ C₂₋₇ alkanoyl group, a ~~heteroaryl~~ ~~aryl~~ ~~carbonyl~~ group 5- to 10-membered, mono- or bicyclic heteroaryl-carbonyl group having at least one heteroatom selected from nitrogen atom, sulfur atom and oxygen atom, a ~~lower~~ C₁₋₆ alkylsulfonyl group and a ~~lower~~ C₁₋₆ alkyl-thiocarbamoyl group, or

(c) a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group substituted by a group selected from (i) a lower C₁₋₆ alkyl group, (ii) an amino group optionally substituted by a group selected from a lower C₁₋₆ alkyl group, a (mono- or di-lower C₁₋₆ alkyl)amino-lower C₁₋₆ alkyl group and a C₃₋₈ cycloalkyl-carbonyl group ~~cycle lower alkylcarbonyl group~~ and (iii) a 4- to 8-membered nitrogen-containing aliphatic heteromonocyclic group substituted by a lower C₁₋₆ alkyl group, R² is a phenyl group substituted by a group selected from a halogen atom and a lower C₁₋₆ alkoxy group, a lower C₁₋₆ alkyl-substituted 5- to 10-membered heteroaryl group having at least one heteroatom selected from nitrogen atom, sulfur atom and oxygen atom or a lower C₁₋₆ alkoxy-substituted 5- to 10-membered heteroaryl group having at least one heteroatom selected from nitrogen atom, sulfur atom and oxygen atom and Q is methylene group.

11. (Currently Amended) The compound according to Claim 8 in which the group of the formula: R¹-(O)_q-Y-Z- is a 4-(mono- or di-lower C₁₋₆ alkylamino-lower C₁₋₆ alkyl)benzoyl group; a 4-(pyrrolidino-lower C₁₋₆ alkyl)benzoyl group; a 4-(di-lower C₁₋₆ alkylamino-lower C₁₋₆ alkoxy)benzoyl group; a 3-(di-lower C₁₋₆ alkylamino-lower C₁₋₆ alkoxy)-4-(di-lower C₁₋₆ alkylamino-lower C₁₋₆ alkoxy)benzoyl group; a 4-(piperidino-lower C₁₋₆ alkoxy)benzoyl group; a 4-[N-lower C₁₋₆ alkyl-N-(di-lower C₁₋₆ alkylamino-lower C₁₋₆ alkyl)amino]benzoyl group; a 4-[N-lower C₂₋₇ alkanoyl-N-(di-lower C₁₋₆ alkylamino-lower C₁₋₆ alkyl)amino]benzoyl group; a 4-[N-lower C₂₋₈ alkenoyl-N-(di-lower C₁₋₆ alkylamino-lower C₁₋₆ alkyl)amino]benzoyl group; a 4-[N-(C₃₋₈ cyclo-lower alkylcarbonyl)-N-(di-lower C₁₋₆ alkylamino-lower C₁₋₆ alkyl)amino]benzoyl group; a 4-[N-(lower C₁₋₆ alkoxy-lower C₂₋₇ alkoxycarbonyl)-N-(di-lower C₁₋₆ alkylamino-lower C₁₋₆ alkyl)amino]benzoyl group; a 4-[N-lower C₂₋₇ alkanoyl-N-

(pyrrolidino-lower C₁₋₆ alkyl)amino]benzoyl group; a [1-(lower C₁₋₆ alkyl)piperidin-4-yl]carbonyl group; a 4-[N-lower C₁₋₆ alkyl-N-(di-lower C₁₋₆ alkylamino-lower C₁₋₆ alkyl)amino]piperidinocarbonyl group; a 4-[N-(C₃₋₈ cycloalkylcarbonyl)cycle-lower alkylcarbonyl)-N-(di-lower C₁₋₆ alkylamino-lower C₁₋₆ alkyl)amino]piperidinocarbonyl-piperidinocarbonyl group; a 4-[4-(di-lower C₁₋₆ alkyl)piperidino]piperidinocarbonyl group; a [1-(lower C₁₋₆ alkyl)piperidin-4-yl]lower -C₂₋₇ alkanoyl group; a [1-(lower C₁₋₆ alkyl)piperidin-4-yl]lower -C₂₋₈ alkenoyl group; a 4-(di-lower C₁₋₆ alkylamino-lower C₁₋₆ alkyl)cyclohexylcarbonyl group; a 4-(mono- or di-lower C₁₋₆ alkylamino)cyclohexylcarbonyl group; a 4-[N-lower C₂₋₇ alkanoyl-N-(di-lower C₁₋₆ alkylamino-lower C₁₋₆ alkyl)amino]cyclohexylcarbonyl group; a 4-[N-lower C₂₋₈ alkenoyl-N-(di-lower C₁₋₆ alkylamino-lower C₁₋₆ alkyl)amino]cyclohexylcarbonyl group; a 4-[N-heteroarylcarbonyl-N-(di-lower alkylamino-lower alkyl)amino]cyclohexylcarbonyl 4-[N-(unsubstituted 5- to 10-membered, mono- or bicyclic heteroaryl)-carbonyl-N-(di-C₁₋₆ alkylamino-C₁₋₆ alkyl)amino]cyclohexylcarbonyl group; a 4-[N-lower C₁₋₆ alkylthiocarbamoyl-N-(di-lower C₁₋₆ alkylamino-lower C₁₋₆ alkyl)amino]cyclohexylcarbonyl group; a 4-[N-(di-lower C₁₋₆ alkylamino-lower C₁₋₆ alkyl)-N-(lower C₁₋₆ alkylsulfonyl)amino]cyclohexylcarbonyl group; a 4-[[N-lower C₁₋₆ alkyl-N-(hydroxy-lower C₁₋₆ alkyl)amino]lower C₁₋₆ alkyl]cyclohexylcarbonyl group; a 4-[[N-lower C₁₋₆ alkyl-N-(lower C₁₋₆ alkoxy-lower C₁₋₆ alkyl)amino]lower C₁₋₆ alkyl]cyclohexylcarbonyl group; a 4-[[N-lower C₂₋₇ alkanoyl-N-(di-lower C₁₋₆ alkylamino-lower C₁₋₆ alkyl)amino]lower C₁₋₆ alkyl]cyclohexylcarbonyl group; a 4-[[N-(C₃₋₈ cycloalkyl-carbonyl)-cycle-lower alkylcarbonyl)-N-(di-lower C₁₋₆ alkylamino-lower C₁₋₆ alkyl)amino]lower C₁₋₆ alkyl]cyclohexylcarbonyl group; a 4-(pyrrolidino)cyclohexylcarbonyl group; a 4-(hydroxypyrrrolidino)cyclohexylcarbonyl group; or

a 4-(piperidino)cyclohexylcarbonyl group, and R² is a phenyl group substituted by one or two groups selected from an ethoxy group and a fluorine atom, an ethoxypyridyl group, a propylpyridyl group or a propylthiazolyl group.

12. (Previously Presented) The compound according to Claim 10 in which R² is 3-ethoxyphenyl group, 6-propylpyridin-2-yl group, 6-ethoxypyridin-2-yl group, 2-propyl-1,3-thiazol-4-yl group or 3-ethoxy-2-fluorophenyl group.

13. (Currently Amended) A compound The compound according to Claim 1 which is 1-(3-ethoxybenzyl)-4-[4-[4-[2-(dimethylamino)ethoxy]benzoyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[4-[2-(1-piperidyl)ethoxy]benzoyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[4-(dimethylaminomethyl)benzoyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[4-(diethylaminomethyl)benzoyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[4-(1-pyrrolidinylmethyl)benzoyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[4-[N-(cyclopropylcarbonyl)-N-[2-(dimethylamino)ethyl]-amino]benzoyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[4-[N-[(2-methoxyethoxy)carbonyl]-N-[2-(dimethylamino)-ethyl]amino]benzoyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[4-[N-isobutyl-N-[2-(dimethylamino)ethyl]amino]benzoyl]-piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[(1-propylpiperidin-4-yl)carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[3-(1-isopropylpiperidin-4-yl)propionyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[[trans-4-(dimethylaminomethyl)cyclohexyl]carbonyl]-piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[[trans-4-(1-pyrrolidinyl)cyclohexyl]carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[(E)-3-(1-isopropylpiperidin-4-yl)acryloyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[4-[3-(dimethylamino)-2,2-dimethylpropoxy]benzoyl]-piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-[(6-propylpyridin-2-yl)methyl]-4-[4-[4-[3-(dimethylamino)-2,2-dimethylpropoxy]-benzoyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[4-[N-acetyl-N-[2-(1-pyrrolidinyl)ethyl]amino]benzoyl]-piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[4-[N-acetyl-N-[2-(dimethylamino)ethyl]amino]benzoyl]-piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[4-(ethylaminomethyl)benzoyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[(trans-4-piperidinocyclohexyl)carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[[trans-4-((3S)-3-hydroxy-1-pyrrolidinyl)cyclohexyl]carbonyl]-piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[[trans-4-[N-acetyl-N-[2-(dimethylamino)ethyl]amino]-cyclohexyl]carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[[trans-4-[N-(2-furoyl)-N-[2-(dimethylamino)ethyl]amino]-cyclohexyl]carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[[trans-4-[N-(crotonoyl)-N-[2-(dimethylamino)ethyl]amino]-cyclohexyl]carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[[trans-4-[N-(methylthiocarbamoyl)-N-[2-(dimethylamino)-ethyl]amino]cyclohexyl]carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-[(2-propyl-1,3-thiazol-4-yl)methyl]-4-[4-[4-[N-crotonoyl-N-[2-(dimethylamino)ethyl]amino]-benzoyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-[(6-ethoxypyridin-2-yl)methyl]-4-[4-[[trans-4-(1-pyrrolidinyl)cyclohexyl]carbonyl]-piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-[(6-propylpyridin-2-yl)methyl]-4-[4-[[trans-4-(1-pyrrolidinyl)cyclohexyl]carbonyl]-piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-[(6-propylpyridin-2-yl)methyl]-4-[4-[[trans-4-(diethylaminomethyl)cyclohexyl]carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-[(6-propylpyridin-2-yl)methyl]-4-[[trans-4-[[N-isopropyl-N-(2-methoxyethyl)amino]methyl]cyclohexyl]carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-[(2-propyl-1,3-thiazol-4-yl)methyl]-4-[[4-[[2,2-dimethyl-3-(dimethylamino)propyl]oxy]benzoyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-[(6-propylpyridin-2-yl)methyl]-4-[[trans-4-(dipropylamino)cyclohexyl]carbonyl]-piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-[(2-propyl-1,3-thiazol-4-yl)methyl]-4-[[trans-4-(dipropylamino)cyclohexyl]carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-[(6-propylpyridin-2-yl)methyl]-4-[[trans-4-(1-piperidyl)cyclohexyl]carbonyl]-piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-[(6-ethoxypyridin-2-yl)methyl]-4-[[trans-4-(1-piperidyl)cyclohexyl]carbonyl]-piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-[(2-propyl-1,3-thiazol-4-yl)methyl]-4-[[trans-4-(1-piperidyl)cyclohexyl]-carbonyl]-piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[[trans-4-(ethylamino)cyclohexyl]carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[3-[2-(diisopropylamino)ethoxy]-4-[3-(dimethylamino)-2,2-(dimethyl)propyloxy]benzoyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[4-[N-(cyclopropanecarbonyl)-N-[2-(dimethylamino)ethyl]-amino]piperidinocarbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[4-(3,3-dimethylpiperadino)piperidinocarbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[4-[N-ethyl-N-[2-(dimethylamino)ethyl]amino]piperidino-carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-[(6-propylpyridin-2-yl)methyl]-4-[4-[[trans-4-[[N-(t-butyl)-N-ethylamino]methyl]cyclohexyl]-carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-[(6-propylpyridin-2-yl)methyl]-4-[4-[[trans-4-[[N-(t-butyl)-N-[2-(methoxy)ethyl]amino]-methyl]cyclohexyl]carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-[(6-ethoxypyridin-2-yl)methyl]-4-[4-[[trans-4-[[N-(t-butyl)-N-[2-(methoxy)ethyl]amino]-methyl]cyclohexyl]carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[[trans-4-[[N-(t-butyl)-N-[2-(methoxy)ethyl]amino]methyl]-cyclohexyl]carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-[(2-propyl-1,3-thiazol-4-yl)methyl]-4-[4-[[trans-4-[[N-(t-butyl)-N-[2-(methoxy)ethyl]amino]-methyl]cyclohexyl]carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[[trans-4-[[N-(t-butyl)-N-[2-(hydroxy)ethyl]amino]methyl]-cyclohexyl]carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-[(6-propylpyridin-2-yl)methyl]-4-[4-[[trans-4-[[N-[2-(dimethylamino)ethyl]-N-(methane-sulfonyl)amino]cyclohexyl]carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-[(6-ethoxypyridin-2-yl)methyl]-4-[4-[[trans-4-[[N-[2-(dimethylamino)ethyl]-N-(methanesulfonyl)amino]cyclohexyl]carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-[(2-propyl-1,3-thiazol-4-yl)methyl]-4-[4-[[trans-4-[[N-[2-(dimethylamino)ethyl]-N-(methanesulfonyl)amino]cyclohexyl]carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-[(6-propylpyridin-2-yl)methyl]-4-[4-[[trans-4-[[N-[2-(dimethylamino)ethyl]-N-pivaloylamino]methyl]cyclohexyl]carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-[(6-propylpyridin-2-yl)methyl]-4-[4-[[trans-4-[[N-(cyclopropanecarbonyl)-N-[2-(dimethylamino)ethyl]amino]methyl]cyclohexyl]carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxybenzyl)-4-[4-[[trans-4-[N-[2-(dimethylamino)ethyl]-N-propionylamino]-cyclohexyl]carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxy-2-fluorobenzyl)-4-[4-[(trans-4-piperidin-1-ylcyclohexyl)carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxy-2-fluorobenzyl)-4-[4-[[trans-4-[[N-(t-butyl)-N-[2-(methoxy)ethyl]amino]-methyl]cyclohexyl]carbonyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxy-2-fluorobenzyl)-4-[4-[4-(ethylaminomethyl)benzoyl]piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

1-(3-ethoxy-2-fluorobenzyl)-4-[4-[4-[N-acetyl-N-[2-(dimethylamino)ethyl]amino]benzoyl]-piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine;

or a pharmaceutically acceptable salt thereof.

14-17. (Cancelled).

18. (Currently Amended) A pharmaceutical composition which comprises as an active ingredient a compound claimed in ~~Claim 1~~ any one of Claims 1, 3, 5, 6, 7, 8, 9, 11, 12, 13 or 23 or a pharmaceutically acceptable salt thereof and a pharmaceutically acceptable carrier therefor.

19-22. (Cancelled).

23. (New) The compound according to Claim 11 in which R² is 3-ethoxyphenyl group, 6-propylpyridin-2-yl group, 6-ethoxypyridin-2-yl group, 2-propyl-1,3-thiazol-4-yl group or 3-ethoxy-2-fluorophenyl group.

24. (New) A pharmaceutical composition which comprises as an active ingredient a compound claimed in Claim 10 or a pharmaceutically acceptable salt thereof and a pharmaceutically acceptable carrier therefor.